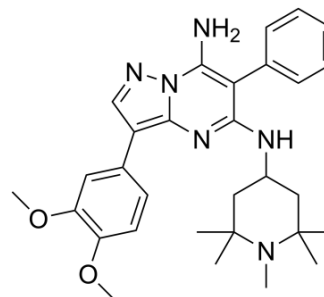


## WF-47-JS03

<b>Cat. No.:</b>	HY-133551		
<b>Molecular Formula:</b>	C <sub>30</sub> H <sub>38</sub> N <sub>6</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	514.66		
<b>Target:</b>	RET		
<b>Pathway:</b>	Protein Tyrosine Kinase/RTK		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 62.5 mg/mL (121.44 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.9430 mL	9.7152 mL	19.4303 mL
		<b>5 mM</b>		0.3886 mL	1.9430 mL	3.8861 mL
<b>10 mM</b>		0.1943 mL	0.9715 mL	1.9430 mL		
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.04 mM); Clear solution  2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.04 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	WF-47-JS03 is a potent and selective RET kinase inhibitor with IC <sub>50</sub> s of 1.7 nM and 5.3 nM for KIF5B-RET transfected Ba/F3 cells and CCDC6-RET transfected LC-2/ad lung cancer cells, respectively. WF-47-JS03 demonstrates >500-fold selectivity against kinase insert domain receptor (KDR). Effective brain penetration <sup>[1]</sup> .
<b>In Vitro</b>	WF-47-JS03 inhibits Tel-KDR transfected Ba/F3 cells and Ba/F3 wild-type cell lines with IC <sub>50</sub> s of 0.99 and 1.5 μM, respectively <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	WF-47-JS03 significantly inhibits tumor growth in RIE KIF5B-RET xenograft mice and is well tolerated at 1, 3, and 10 mg/kg in the 10 day study <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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Animal Model:	Female 6-8 week old Harlan Foxn1 nude mice with RIE-RET-KIF5B transgenic cell line
Dosage:	1, 3, 10 mg/kg
Administration:	Dosed orally, 1x daily for 10 days
Result:	Inhibited tumor growth in RIE KIF5B-RET xenograft mice.

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## REFERENCES

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[1]. Casey J N Mathison , et al. Efficacy and Tolerability of Pyrazolo[1,5- a]pyrimidine RET Kinase Inhibitors for the Treatment of Lung Adenocarcinoma. ACS Med Chem Lett. 2020 Feb 12;11(4):558-565.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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